10/799,407 Page 3

chain nodes : 17 18 20 21 22

ring nodes :

chain bonds : 4-22 9-10 11-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14

Broad search for 10/799,404 10/799,406 10/799,407

14-15

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-10 10-11 10-15 11-12

11-20 12-13 13-14 14-15 isolated ring systems :

containing 1 : 10 :

G1:C,N

G2: CH3, X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS 18:CLASS 20:CLASS 21:CLASS

22:CLASS 23:CLASS 24:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 C,N

G2 Me,X

<10/07/2005>

Habte

10/799,407 Page 4

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:24:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO

L2 0 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 09:24:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 87 TO ITERATE

100.0% PROCESSED 87 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 09:24:33 ON 07 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Oct 2005 VOL 143 ISS 16 FILE LAST UPDATED: 6 Oct 2005 (20051006/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 3 L3

<10/07/2005>

Habte

10/799,407 Page 5

=> d ibib abs hitstr tot

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004087708

W: AE, AG, AI

CR, CO, CG

GE, GH, GH

LK, LR, LS

NO, NZ, CO

TJ, JM, TB

RW: BW, GH, GH

BY, KG, KZ

ES, FI, TG

SK, TR, BB

TD, TG

PRIORITY APPLN. INFO.:
GI Al 20041014 WO 2004-IB1006 20040322
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GH, HR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, HK, MN, MY, MX, HZ, NA, NI, CM, FC, FR, FR, CB, CB, SK, SL, SL, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VH, YU, ZA, ZH, ZY, KZ, MD, RI, TJ, TM, TA, TB, EB, CG, CY, CZ, DE, DK, EE, FR, GB, GR, HU, IE, IT, LU, MC, NL, FL, FT, RO, SE, SI, SF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, A1 20041021 US 2004-799404 US 2003-460698P

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

773086-73-0 CAPLUS
Pyrrolo[1,2-b]pyridazin-4-amine, 7-(4-methoxy-2-methylphenyl)-2,6-dimethyl-N-(1-methylpropyl)- (9C1) (CA INDEX NAME)

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 1 OF 3 CAPLUS COFYRIGHT 2005 ACS on STN (Continued)

Disclosed are novel CRF receptor antagonists and their use in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF, or associated with CRF or CRF receptors, such as anxiety, and depression. The CRF receptor antagonists of the invention have the structure of foremial 1 (R = H or He), including stereisoners or nixts, of stereolsomers, pharmaceutically acceptable prodrugs, or pharmaceutically acceptable salts. Compds. I were tested in several biol. assays, and had IC50 values of less than 3 mM in a CRF1 receptor binding assay. For example, 4-bromo-3-methylamisole was treated with t-Buli followed by reaction with a-methyl-y-butyrolactone to give a ring-opened hydroxy ketone, which underwent Sven oxidation to yield the corresponding formyl ketone. This dicarbonyl compound was cyclized with N-manicophthalimide to afford pyrrole II, which was deprotected with hydrazine and then converted to hydroxybicycle III via cyclocondensation with Et trans-3-ethoxycotonate. Bromination of III with PBr3 followed by amination of the resulting bromide with (S)-sec-butylamine led to pyrrolol[2-b]pyridazine (S)-I (R = H). Claimed uses also include (1) use of labeled compds. I in competitive binding assays for screening of other CRF receptor ligands, and (2) use of labeled I for detecting CRF receptors in tissues.

773066-71-80 773066-72-9P 773066-73-0P
RL: ARG (Analytical respent use); BSU (Biological study, unclassified); PRC (Pharmacological activity); SFN (Synthetic preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridazine derivs. as CRF receptor antagonists)

773086-71-8 CRPUS

Pyrrolo[1, 2-b] pyridazin-4-amine, 7-(4-methoxy-2-methylphenyl)-2,6-dimethyl-N-([15)-1-methylpropyl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

773086-72-9 CAPLUS
Pyrrolo(1, 2-b)pyridazin-4-smine, N-(1-ethylpropyl)-7-(4-methoxy-2-methylphenyl)-2,6-dimethyl- (9C1) (CA INDEX NAME)

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2004:857173 CAPLUS DOCUMENT NUMBER: 141:350182 Freneration Propagation 141:350182
Preparation of pyrrolo[1,2-b]pyridazine compounds and their use as CRF receptor antagonists
Pu, Jian-min
Pfizer Inc, USA
U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXXCO
Patent

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO. KIND					D	DATE		APPLICATION NO.						DATE			
US 2004204415					A1 20041014				US 2		20040312						
WO 2	2004087709				A1 20041014			,	WO 2	004-	20040322						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	λZ
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE
		ES,	FI,	FR,	GB,	GR,	HU,	IE.	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	51
		SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CH,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN
		TD.															

PRIORITY APPLN. INFO.: OTHER SOURCE(S): US 2003-460734P P 20030404 MARPAT 141:350182

The title compds. [I, R = H, Me], useful in the treatment of a variety of disorders, including disorders manifesting hypersecretion of CRF or associated with CRF or CRF receptors, such as anxiety, and depression, were prepared E.g., a multi-step synthesis of I [R = Me], starting from 4-brono-3-chloroanisole and α -methyl-y-butyrolactone, was given. The compds. I showed Ki of <2.0 nM in in vitro CRF1 receptor

10/799,407

Page 7

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) binding assay. The pharmaceutical compn. comprising the compd. I is claimed. 775345-90-09 775345-60-3P
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrrolo[1,2-b]pyridazine compds. and their use as CRF receptor antagonists) 775345-59-0 CAPLUS
Pyrrolo[1,2-b]pyridazin-4-amine, 7-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2,6-dimethyl- (SCI) (CA INDEX NAME)

775345-60-3 CAPLUS
Pyrrolo[1, 2-b]pyridazin-4-smine, 7-(2-chloro-4-methoxyphenyl)-2,6-dimethyl-N-([(15)-1-methylpropyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) hypersecretion of CRF or assocd. with CRF or CRF receptors, e.g. anxiety and depression. CRF receptor antagonists of the invention have structure I (R = H, Me), including stereoisomers or mixts. of stereoisomers, pharmaceutically acceptable prodrugs, or pharmaceutically acceptable salts thereof.
773059-40-8 773059-41-9 773059-42-0
RL: PAC (Pharmacological activity) THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pyrrologyridazine compound CRF receptor antagonists, and use in treatment of CRF- and CRF receptor-associated disorders) 773059-40-8. CAPLUS
Pyrrolof1, 2-bj pyridazin-4-amine, 7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

773059-41-9 CAPLUS
Pyrrolo[1,2-b]pyridazin-4-amine, N-(1-ethylpropyl)-7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)

773059-42-0 CAPLUS
Pyrrolo[1,2-b]pyridazin-4-amine, 7-(6-methoxy-2-methyl-3-pyridinyl)-2,6-dimethyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSVER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1111E:
2004:857172 CAPLUS
141:325761
141:325761
Pyrrolo[1, 2-b] pyridazine compound corticotropinreleasing factor (CRF) receptor antagonists and their
use in the treatment of CRF- and CRF receptor-associated
disorders
FM. Jian-min
Pfizer Inc, USA
U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE:
PAMILY ACC. NUM. COUNT:
1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PATENT NO.						KIND DATE				APPLICATION NO.						DATE		
	US 2004204414									US 2004-799406						20040312			
US																			
WO	2004087710				A1 20041014			WO 2004-IB971						20040322					
	w:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DX,	DM,	DZ,	EC.	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	HΑ,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NA,	NI,		
		NO,	NZ,	OH,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG.	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZH,	ZW		
	RW:	BW,	GH,	GΜ,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AH,	AZ,		
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DX,	EE,		
		ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI		
		SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GΩ,	G₩,	ML,	MR,	NE,	SN.		
		TD,	TG																
	ORITY APPLN. INFO.:								US 2003-459744P						P 20030402				
Ŧ																			

The invention discloses CRF receptor antagonists and their use as treatment of a variety of disorders, including disorders manifesting

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)